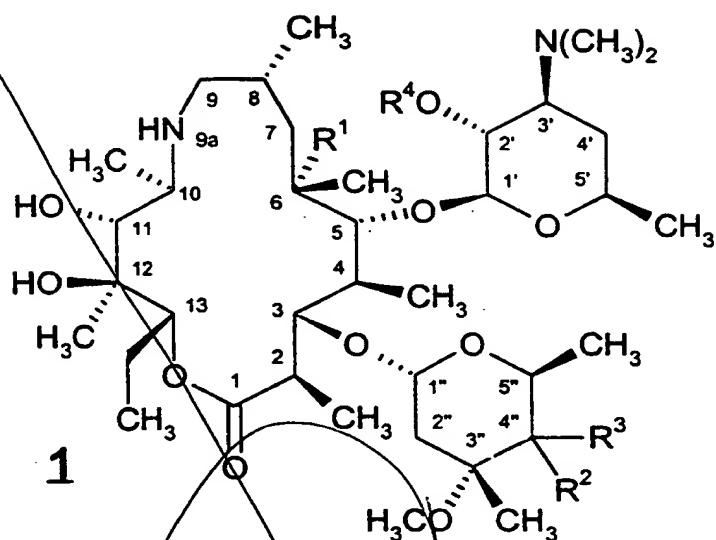


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CLAIMS

1. A compound of the formula

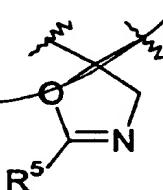


or a pharmaceutically acceptable salt thereof, wherein:

10 R^1 is H, hydroxy or methoxy;

R^2 is hydroxy;

R^3 is C_1-C_{10} alkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, cyano, $-CH_2S(O)_nR^8$ wherein n is an integer ranging from 0 to 2, $-CH_2OR^8$, $-CH_2N(OR^9)R^8$, $-CH_2NR^8R^{15}$, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^3 groups are optionally substituted by 1 to 3 R^{16} groups;

or R^2 and R^3 are taken together to form an oxazolyl ring as shown below R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group; R^5 is $-SR^8$, $-(CH_2)_nC(O)R^8$ wherein n is 0 or 1, C_1-C_{10} alkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl,

20 $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^5 groups are optionally substituted by 1 to 3 R^{16} groups;

 each R^6 and R^7 is independently H, hydroxy, C_1-C_6 alkoxy, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4;

5 each R⁸ is independently H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, -(CH₂)_qCR¹¹R¹²(CH₂)_rNR¹³R¹⁴ wherein q and r are each independently an integer ranging from 0 to 3 except q and r are not both 0, -(CH₂)_m(C₆-C₁₀ aryl), or -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R⁸ groups, except H, are optionally substituted by 1 to 3 R¹⁶ groups;

10 or where R⁸ is as -OH₂NR⁸R¹⁵, R¹⁵ and R⁸ may be taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -N(R⁸)-, in addition to the nitrogen to which R¹⁵ and R⁸ are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are 15 optionally substituted by 1 to 3 R¹⁶ groups;

15 each R⁹ and R¹⁰ is independently H or C₁-C₆ alkyl;

20 each R¹¹, R¹², R¹³ and R¹⁴ is independently selected from H, C₁-C₁₀ alkyl, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R¹¹, R¹², R¹³ and R¹⁴ groups, except H, are optionally substituted by 1 to 3 R¹⁶ groups;

25 or R¹¹ and R¹³ are taken together to form -(CH₂)_p- wherein p is an integer ranging from 0 to 3 such that a 4-7 membered saturated ring is formed that optionally includes 1 or 2 carbon-carbon double or triple bonds;

30 or R¹³ and R¹⁴ are taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -N(R⁸)-, in addition to the nitrogen to which R¹³ and R¹⁴ are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R¹⁶ groups;

35 R¹⁵ is H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl, wherein the foregoing R¹⁵ groups are optionally substituted by 1 to 3 substituents independently selected from halo and -OR⁹;

40 each R¹⁶ is independently selected from halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein said aryl and heteroaryl substituents are optionally substituted by 1 or 2 substituents independently selected from halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

45 each R¹⁷ is independently selected from H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl,

5 $-(CH_2)_m(C_6-C_{10}$ aryl), and $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4;

with the proviso that R^3 is not H where R^3 is $CH_2S(O)_nR^8$.

2. The compound of claim 1 wherein R^1 is H, acetyl, or benzyloxycarbonyl.

3. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-CH_2NR^{15}R^8$ or

10 $-CH_2SR^8$.

11 4. The compound of claim 3 wherein R^3 is $-CH_2NR^{15}R^8$ and R^{15} and R^8 are independently selected from H, C_1-C_{10} alkyl, C_2-C_{10} alkenyl, and C_2-C_{10} alkynyl, wherein the foregoing R^{15} and R^8 groups, except H, are optionally substituted by 1 or 2 substituents independently selected from hydroxy, halo and C_1-C_6 alkoxy.

15 33 5. The compound of claim 4 wherein R^{15} and R^8 are each independently selected from H, methyl, ethyl, allyl, n-butyl, isobutyl, 2-methoxyethyl, cyclopentyl, 3-methoxypropyl, 3-ethoxypropyl, n-propyl, isopropyl, 2-hydroxyethyl, cyclopropyl, 2,2,2-trifluoroethyl, 2-propynyl, sec-butyl, tert-butyl, and n-hexyl.

6. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-CH_2NHR^8$, and R^8 is $-(CH_2)_m(C_6-C_{10}$ aryl) wherein m is an integer ranging from 0 to 4.

5. The compound of claim 6 wherein R^8 is phenyl or benzyl.

8. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-CH_2NR^{15}R^8$, and R^{15} and R^8 are taken together to form a 4-10 membered saturated ring.

7. The compound of claim 8 wherein R^{15} and R^8 are taken together to form a piperidino, trimethyleneimino, or morpholino ring.

10. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-CH_2NR^{15}R^8$, and R^{15} and R^8 are taken together to form a 5-10 membered heteroaryl ring optionally substituted by 1 or 2 C_1-C_6 alkyl groups.

9. The compound of claim 10 wherein R^{15} and R^8 are taken together to form a pyrrolidino, triazolyl, or imidazolyl ring wherein said heteroaryl groups are optionally substituted by 1 or 2 methyl groups.

12. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-CH_2SR^8$, and R^8 is selected from C_1-C_{10} alkyl, C_2-C_{10} alkenyl, and C_2-C_{10} alkynyl, wherein said R^8 groups are optionally substituted by 1 or 2 substituents independently selected from hydroxy, halo and C_1-C_6 alkoxy.

11. The compound of claim 12 wherein R^8 is methyl, ethyl, or 2-hydroxyethyl.

14. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, and R^3 is selected from C_1-C_{10} alkyl, C_2-C_{10} alkenyl, and C_2-C_{10} alkynyl, wherein said R^3 groups are optionally

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5 substituted by 1 or 2 substituents independently selected from hydroxy, $-\text{C}(\text{O})\text{R}^7$, $-\text{NR}^6\text{R}^7$, halo, cyano, azido, 5-10 membered heteroaryl, and $\text{C}_1\text{-C}_8$ alkoxy.

15. The compound of claim 14 wherein R^3 is methyl, allyl, vinyl, ethynyl, 1-methyl-1-propenyl, 3-methoxy-1-propynyl, 3-dimethylamino-1-propynyl, 2-pyridylethynyl, 1-propynyl, 3-hydroxy-1-propynyl, 3-hydroxy-1-propenyl, 3-hydroxypropyl, 3-methoxy-1-propenyl, 3-methoxypropyl, 1-propynyl, n -butyl, ethyl, propyl, 2-hydroxyethyl, azidomethyl, formylmethyl, 6-cyano-1-pentynyl, 3-dimethylamino-1-propenyl, or 3-dimethylaminopropyl.

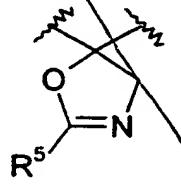
16. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, and R^3 is $-(\text{CH}_2)_m(5$ -10 membered heteroaryl) wherein m is an integer ranging from 0 to 4.

17. The compound of claim 16 wherein R^3 is 2-thienyl, 2-pyridyl, 1-methyl-2-imidazolyl, 2-furyl, or 1-methyl-2-pyrrrolyl.

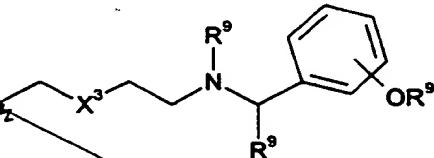
18. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, and R^3 is $-(\text{CH}_2)_m(\text{C}_6\text{-C}_{10}$ aryl) wherein m is an integer ranging from 0 to 4.

19. The compound of claim 18 wherein R^3 is phenyl.

20. The compound of claim 2 wherein R^2 and R^3 are taken together to form an oxazolyl ring as shown below



21. The compound of claim 2 wherein R^3 is selected from the following:



wherein X^3 is O, S or $-\text{N}(\text{R}^{15})-$, R^9 and R^{15} are as defined in claim 1, and the $-\text{OR}^9$ group

25 may be attached at any available carbon on the phenyl group.

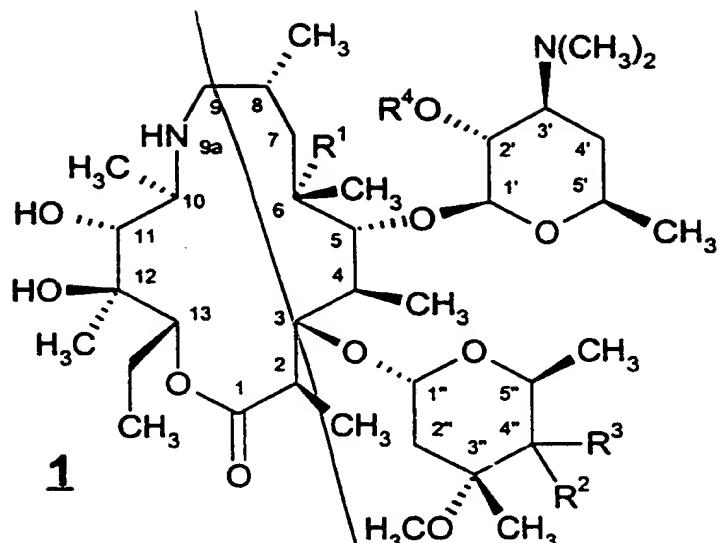
22. A pharmaceutical composition for the treatment of a bacterial infection or a protozoa infection in a mammal, fish, or bird which comprises a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

23. A method of treating a bacterial infection or a protozoa infection in a mammal, fish, or 30 bird which comprises administering to said mammal, fish or bird a therapeutically effective amount of a compound of claim 1.

24. A method of preparing a compound of the formula

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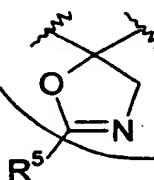
or a pharmaceutically acceptable salt thereof, wherein:

R¹ is H, hydroxy or methoxy;

R^2 is hydroxy;

R^3 is C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, cyano, $-CH_2S(O)_nR^8$ wherein n is an integer ranging from 0 to 2, $-CH_2OR^8$, $-CH_2N(OR^9)R^8$, $-CH_2NR^8R^{15}$, $-(CH_2)_m(C_6$ - C_{10} aryl), or $-(5$ -10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the R^3 groups are optionally substituted by 1 to 3 R^{16} groups;

or R^2 and R^3 are taken together to form an oxazolyl ring as shown below



15 **R⁴ is H, -C(O)R⁹, -C(O)OR⁹, -C(O)NR⁹R¹⁰ or a hydroxy protecting group;**

R^5 is $-SR^8$, $-(CH_2)_nC(O)R^8$ wherein n is 0 or 1, C_1-C_{10} alkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^5 groups are optionally substituted by 1 to 3 R^{16} groups;

each R⁶ and R⁷ is independently H, hydroxy, C₁-C₆ alkoxy, C₁-C₆ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, -(CH₂)_m(C₆-C₁₀ aryl), or -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4;

each R⁸ is independently H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, -(CH₂)_qCR¹¹R¹²(CH₂)_rNR¹³R¹⁴ wherein q and r are each independently an integer ranging from 0 to 3 except q and r are not both 0, -(CH₂)_m(C₆-C₁₀ aryl), or -(CH₂)_m(5-10 membered heteroaryl),

5 wherein m is an integer ranging from 0 to 4, and wherein the foregoing R⁸ groups, except H, are optionally substituted by 1 to 3 R¹⁶ groups;

or where R⁸ is as -CH₂NR⁸R¹⁵, R¹⁵ and R⁸ may be taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -N(R⁸)-, in addition to the nitrogen to which R¹⁵ and R⁸ are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R¹⁶ groups;

each R⁹ and R¹⁰ is independently H or C₁-C₆ alkyl;

each R¹¹, R¹², R¹³ and R¹⁴ is independently selected from H, C₁-C₁₀ alkyl, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R¹¹, R¹², R¹³ and R¹⁴ groups, except H, are optionally substituted by 1 to 3 R¹⁶ groups;

or R¹¹ and R¹³ are taken together to form -(CH₂)_p- wherein p is an integer ranging from 0 to 3 such that a 4-7 membered saturated ring is formed that optionally includes 1 or 2 carbon-carbon double or triple bonds;

or R¹³ and R¹⁴ are taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -N(R⁸)-, in addition to the nitrogen to which R¹³ and R¹⁴ are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R¹⁶ groups;

R¹⁵ is H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl, wherein the foregoing R¹⁵ groups are optionally substituted by 1 to 3 substituents independently selected from halo and -OR⁹;

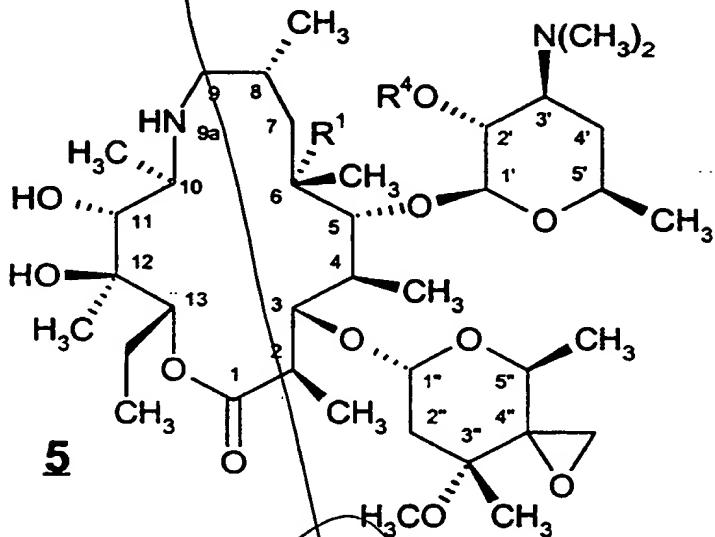
each R¹⁶ is independently selected from halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein said aryl and heteroaryl substituents are optionally substituted by 1 or 2 substituents independently selected from halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

each R¹⁷ is independently selected from H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, C₂-C₁₀ alkynyl, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4;

with the proviso that R⁸ is not H where R³ is -CH₂S(O)_nR⁸;

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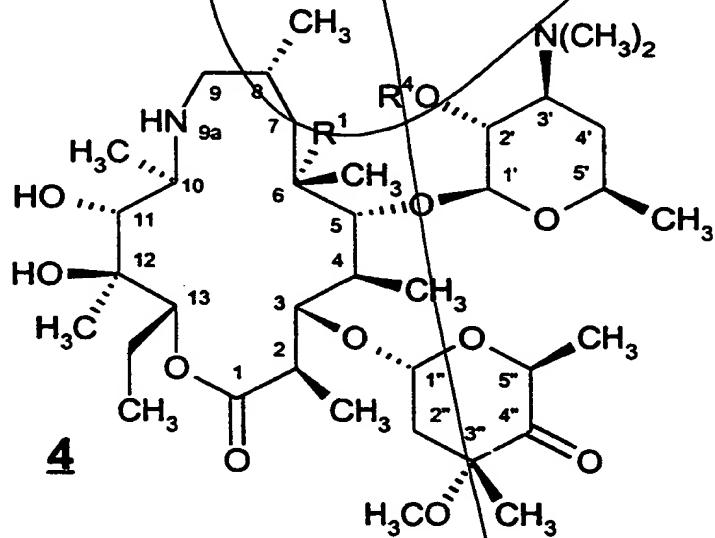
which comprises treating a compound of the formula



wherein R^1 and R^4 are as defined above, with a compound of the formula HOR^8 , HSR^8 or $HNR^{15}R^8$, wherein n , R^{15} and R^8 are as defined above, wherein if said compound of formula HSR^8 is used the resulting R^3 group of formula $-CH_2SR^8$ is optionally oxidised to $-CH_2S(O)R^8$ or

10 $-CH_2S(O)_2R^8$.

25. The method of claim 24 wherein the compound of formula 5 is prepared by treating a compound of the formula

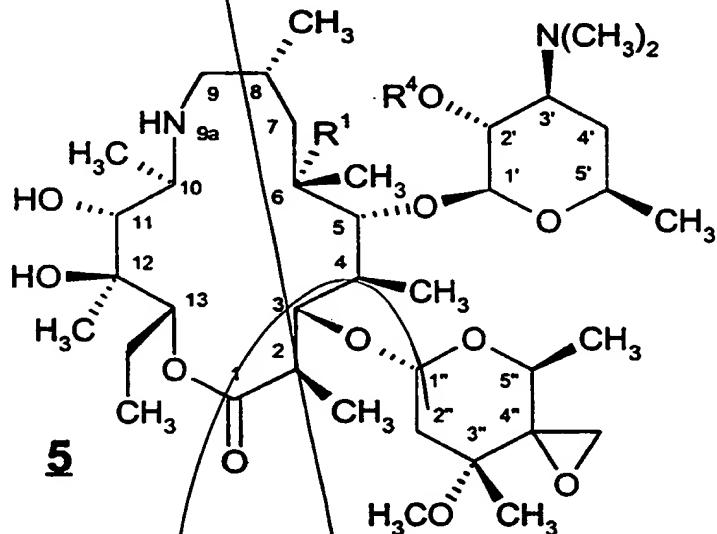


wherein R^1 and R^4 are as defined in claim 24, with $(CH_3)_3S(O)_nX^2$, wherein n is 0 or 1 and

15 X^2 is halo, $-BF_4$ or $-PF_6$, in the presence of a base.

5 26. The method of claim 25 wherein X^2 is iodo or BF_4^- and said base is selected from potassium tert-butoxide, sodium tert-butoxide, sodium ethoxide, sodium hydride, 1,1,3,3-tetramethylguanidine, 1,8-diazabicyclo[5.4.0]undec-7-ene, 1,5-diazabicyclo[4.3.0]non-5-ene, potassium hexamethyldisilazide (KHMDS), potassium ethoxide, and sodium methoxide.

27. A compound of the formula



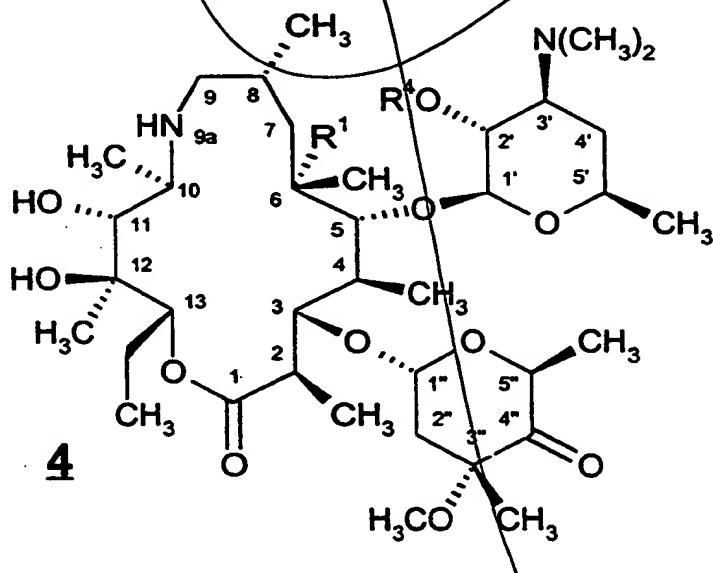
10 or a pharmaceutically acceptable salt thereof, wherein:

R^1 is H, hydroxy or methoxy; and,

R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group; and,

each R^9 and R^{10} is independently H or C₁-C₆ alkyl.

15 28. A compound of the formula



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or a pharmaceutically acceptable salt thereof, wherein:

R^1 is H, hydroxy or methoxy, and,

R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group; and,
each R^9 and R^{10} is independently H or C_1-C_6 alkyl.

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